

Connecting via Winsock to STN

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LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

```

NEWS 1      Web Page for STN Seminar Schedule - N. America
NEWS 2 NOV 21 CAS patent coverage to include exemplified prophetic
              substances identified in English-, French-, German-,
              and Japanese-language basic patents from 2004-present
NEWS 3 NOV 26 MARPAT enhanced with FSORT command
NEWS 4 NOV 26 CHEMSAFE now available on STN Easy
NEWS 5 NOV 26 Two new SET commands increase convenience of STN
              searching
NEWS 6 DEC 01 ChemPort single article sales feature unavailable
NEWS 7 DEC 12 GBFULL now offers single source for full-text
              coverage of complete UK patent families
NEWS 8 DEC 17 Fifty-one pharmaceutical ingredients added to PS
NEWS 9 JAN 06 The retention policy for unread STNmail messages
              will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 10 JAN 07 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
              Classification Data
NEWS 11 FEB 02 Simultaneous left and right truncation (SLART) added
              for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13 FEB 06 Patent sequence location (PSL) data added to USGENE
NEWS 14 FEB 10 COMPENDEX reloaded and enhanced
NEWS 15 FEB 11 WTEXTILES reloaded and enhanced
NEWS 16 FEB 19 New patent-examiner citations in 300,000 CA/CAPLUS
              patent records provide insights into related prior
              art
NEWS 17 FEB 19 Increase the precision of your patent queries -- use
              terms from the IPC Thesaurus, Version 2009.01
NEWS 18 FEB 23 Several formats for image display and print options
              discontinued in USPATFULL and USPAT2
NEWS 19 FEB 23 MEDLINE now offers more precise author group fields
              and 2009 MeSH terms
NEWS 20 FEB 23 TOXCENTER updates mirror those of MEDLINE - more
              precise author group fields and 2009 MeSH terms
NEWS 21 FEB 23 Three million new patent records blast AEROSPACE into
              STN patent clusters
NEWS 22 FEB 25 USGENE enhanced with patent family and legal status
              display data from INPADOCDB
NEWS 23 MAR 06 INPADOCDB and INPAFAMDB enhanced with new display
              formats

```

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,

AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN Welcome Banner and News Items
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***** STN Columbus *****

FILE 'HOME' ENTERED AT 10:53:04 ON 09 MAR 2009

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 10:53:17 ON 09 MAR 2009

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STRUCTURE FILE UPDATES: 6 MAR 2009 HIGHEST RN 1116745-20-0

DICTIONARY FILE UPDATES: 6 MAR 2009 HIGHEST RN 1116745-20-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information

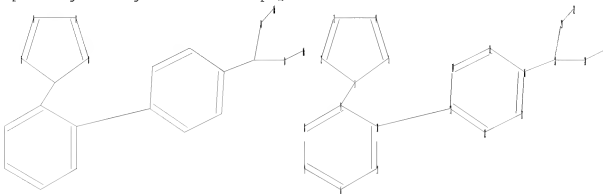
10588169

on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10588169.str



```
chain nodes :
18 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
1-9 10-13 16-18 18-19 18-20 19-21 20-22
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14
14-15 15-16 16-17
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 18-19 18-20 19-21 20-22
exact bonds :
1-9 10-13 16-18
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 1 : 6 : 12 :
```

Match level :

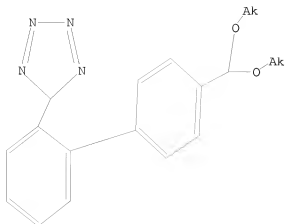
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1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS
```

L1 STRUCTURE UPLOADED

=> D L1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using SIN Express query preparation.

=> S L1

SAMPLE SEARCH INITIATED 10:53:35 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1166 TO ITERATE

100.0% PROCESSED 1166 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 21272 TO 25368

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> S L1 SSS FULL

FULL SEARCH INITIATED 10:53:42 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 22259 TO ITERATE

100.0% PROCESSED 22259 ITERATIONS

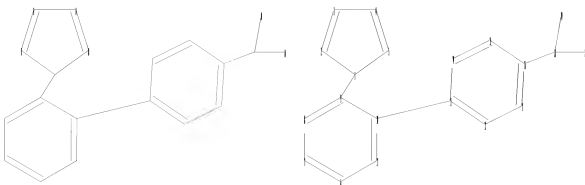
0 ANSWERS

SEARCH TIME: 00.00.02

L3 0 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\10588169a.str



```

chain nodes :
18 19 20
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
1-9 10-13 16-18 18-19 18-20
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14
14-15 15-16 16-17
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 18-19 18-20
exact bonds :
1-9 10-13 16-18
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 1 : 6 : 12 :
```

```

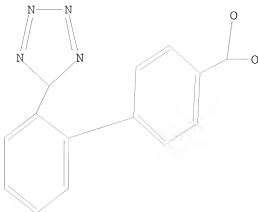
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS
```

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4 STR



Structure attributes must be viewed using SIN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 10:55:26 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1166 TO ITERATE

100.0% PROCESSED 1166 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 21272 TO 25368

PROJECTED ANSWERS: 1 TO 80

L5 1 SEA SSS SAM L4

=> s 14 sss full

FULL SEARCH INITIATED 10:55:34 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 22259 TO ITERATE

100.0% PROCESSED 22259 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

L6 2 SEA SSS FUL L4

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

372.72

372.94

FILE 'HCAPLUS' ENTERED AT 10:55:44 ON 09 MAR 2009

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FILE COVERS 1907 - 9 Mar 2009 VOL 150 ISS 11
FILE LAST UPDATED: 8 Mar 2009 (20090308/ED)

HCAPLUS now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l6

L7 2 L6

=> d l7 ibib abs hitstr tot

L7 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:141074 HCAPLUS

DOCUMENT NUMBER: 142:240438

TITLE: A preparation of tetrazole derivatives via heterocyclization of nitriles with azides

INVENTOR(S): Sedelmeier, Gottfried

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

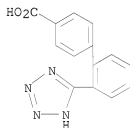
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014602	A1	20050217	WO 2004-EP7980	20040715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004263265	A1	20050217	AU 2004-263265	20040715
AU 2004263265	B2	20070906		

CA 2532175 A1 20050217 CA 2004-2532175 20040715
 EP 1646636 A1 20060419 EP 2004-801815 20040715
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
 BR 2004012558 A 20060919 BR 2004-12558 20040715
 CN 1852908 A 20061025 CN 2004-80026438 20040715
 NZ 544644 A 20080731 NZ 2004-544644 20040715
 IN 2006CN00155 A 20070629 IN 2006-CN155 20060112
 MX 2006000561 A 20060330 MX 2006-561 20060113
 KR 2006038994 A 20060504 KR 2006-700855 20060113
 NO 2006000729 A 20060404 NO 2006-729 20060215
 US 20070043098 A1 20070222 US 2006-564337 20060811
 PRIORITY APPLN. INFO.: GB 2003-16546 A 20030715
 WO 2004-EP7980 W 20040715
 OTHER SOURCE(S): CASREACT 142:240438; MARPAT 142:240438
 GI



AB The invention relates to a preparation of tetrazole derivs. of formula I (R is organic residue) via heterocyclization of nitriles with azides. For instance, 5-(2-chlorophenyl)-1H-tetrazole was prepared via heterocyclization of 2-chlorobenzonitrile with sodium azide.
 IT 164265-78-5P
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (preparation of tetrazole derivs. via heterocyclization of azides with nitriles)
 RN 164265-78-5 HCAPLUS
 CN [1,1'-Biphenyl]-4-carboxylic acid, 2'-(2H-tetrazol-5-yl)- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2009 ACS ON STN
 ACCESSION NUMBER: 1995:575743 HCAPLUS
 DOCUMENT NUMBER: 123:25147
 ORIGINAL REFERENCE NO.: 123:4437a,4440a
 TITLE: Metabolic fate of losartan, a new angiotensin II

receptor antagonist (1): absorption, distribution, metabolism and excretion after single administration in rats

AUTHOR(S): Takayama, Fumio; Saito, Kaoru; Yoshinaga, Tomomi; Morita, Mitsuko; Hata, Shunsuke; Esumi, Yoshio; Jin, Yoshitaka; Okamura, Yuichi

CORPORATE SOURCE: Dev. Res. Lab., Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: Yakubutsu Dotai (1995), 10(2), 223-43

CODEN: YADOEL; ISSN: 0916-1139

PUBLISHER: Nippon Yakubutsu Dotai Gakkai

DOCUMENT TYPE: Journal

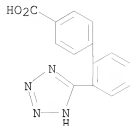
LANGUAGE: Japanese

AB The absorption, distribution, metabolism and excretion of losartan were investigated in male and female rats after a single administration. There were no sex-related differences in the pharmacokinetic parameters of radioactivity in plasma and blood of rats after oral (10 mg/kg) and i.v. dosing (3 mg/kg) of 14C-losartan. Bioavailabilities after oral administration of losartan to male rats at doses of 15, 45 and 135 mg/kg were 31.5%, 35.5% and 38.2%, resp. After a single oral administration of 14C-losartan (10 mg/kg) to male rats, whole-body autoradiog. showed that most of the radioactivity was rapidly and widely distributed, particularly to the gastrointestinal tract, liver and urine present in the bladder, and the radioactivity declined to very low levels within 48 h. The quant. tissue anal. showed that the highest levels of radioactivity were found in liver at 30 min after dosing, followed by stomach, small intestine, kidney and plasma. By 96 h after administration, the radioactivity in the liver was less than 1% of the level seen at 30 min after dosing, and the concns. in the other tissues were below the detection limit of the assay. After oral administration of 14C-losartan (10 mg/kg) to male rats, less than 3.5% of administered radioactivity was distributed to blood cells, and more than 99% of the radioactivity was bound to plasma proteins. Within 3 h after injection of 14C-losartan (10 mg/kg) to male rats, 19.8%, 32.1%, 89.6% and 51.4% of administered radioactivity were present in the stomach, duodenum, jejunum and ileum, resp. Within 48 h after oral administration of 14C-losartan (10 mg/kg) to rats, 62.2% (male) and 59.5% (female) of administered radioactivity were excreted into bile. Within 168 h after administration to male rats, 4.4% and 94% of administered radioactivity were excreted into urine and feces, resp., and the enterohepatic circulation accounted for approx. 16% of administered bile within 8 h after administration. Losartan and its metabolites were found in the liver and bile of male and female rats at 2 h and 6 h after oral administration of 14C-losartan (10 mg/kg). In the kidney of male and female rats, losartan, and metabolite were found. Within 24 h after oral administration, the percentage of urinary excretion of losartan and one of its metabolite was 0.3% and 1.3% in male rats, and 9.1% and 0.0% in female rats, resp.

IT 164265-78-5
 RL: BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
 (losartan metabolism, tissue distribution and metabolites in rats)

RN 164265-78-5 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 2'-(2H-tetrazol-5-yl)- (CA INDEX NAME)



=> FIL REGISTRY
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
25.53	398.47

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-1.64	-1.64

CA SUBSCRIBER PRICE

FILE 'REGISTRY' ENTERED AT 10:58:55 ON 09 MAR 2009
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STRUCTURE FILE UPDATES: 6 MAR 2009 HIGHEST RN 1116745-20-0
DICTIONARY FILE UPDATES: 6 MAR 2009 HIGHEST RN 1116745-20-0

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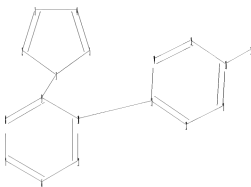
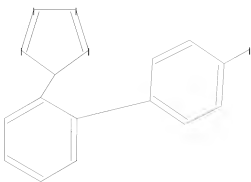
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10588169y.str



```

chain nodes :
19
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
1-9 10-13 16-19
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14
14-15 15-16 16-17
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 16-19
exact bonds :
1-9 10-13
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 1 : 6 : 12 :
```

```

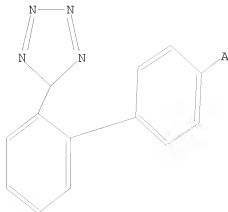
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 19:CLASS
```

L8 STRUCTURE UPLOADED

```

=> d 18
L8 HAS NO ANSWERS
L8 STR
```

10588169



Structure attributes must be viewed using STN Express query preparation.

=> s l8

SAMPLE SEARCH INITIATED 10:59:15 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2035 TO ITERATE

98.3% PROCESSED 2000 ITERATIONS

50 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 37994 TO 43406

PROJECTED ANSWERS: 11359 TO 14403

L9 50 SEA SSS SAM L8

=> s l8 sss full

FULL SEARCH INITIATED 10:59:22 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 39473 TO ITERATE

100.0% PROCESSED 39473 ITERATIONS

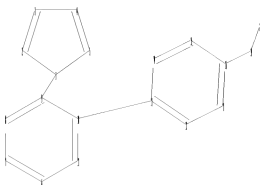
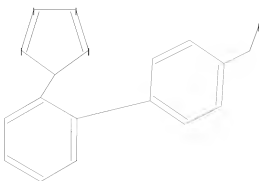
11676 ANSWERS

SEARCH TIME: 00.00.02

L10 11676 SEA SSS FUL L8

=>

Uploading C:\Program Files\Stnexp\Queries\10588169b.str



```

chain nodes :
19 20
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
1-9 10-13 16-19 19-20
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14
14-15 15-16 16-17
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 19-20
exact bonds :
1-9 10-13 16-19
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 1 : 6 : 12 :
```

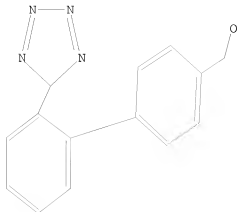
```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 19:CLASS 20:CLASS
```

L11 STRUCTURE UPLOADED

```

=> d l11
L11 HAS NO ANSWERS
L11 STR
```



Structure attributes must be viewed using STN Express query preparation.

=> s l11

SAMPLE SEARCH INITIATED 11:01:21 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1166 TO ITERATE

100.0% PROCESSED 1166 ITERATIONS

26 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 21272 TO 25368

PROJECTED ANSWERS: 215 TO 825

L12 26 SEA SSS SAM L11

=> s l11 sss full

FULL SEARCH INITIATED 11:01:30 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 22259 TO ITERATE

100.0% PROCESSED 22259 ITERATIONS

547 ANSWERS

SEARCH TIME: 00.00.01

L13 547 SEA SSS FUL L11

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

373.20

771.67

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-1.64

FILE 'HCAPLUS' ENTERED AT 11:02:11 ON 09 MAR 2009

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FILE COVERS 1907 - 9 Mar 2009 VOL 150 ISS 11

FILE LAST UPDATED: 8 Mar 2009 (20090308/ED)

HCAPLUS now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 10:53:04 ON 09 MAR 2009)

FILE 'REGISTRY' ENTERED AT 10:53:17 ON 09 MAR 2009

L1 STRUCTURE UPLOADED
L2 0 S L1
L3 0 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 1 S L4
L6 2 S L4 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:55:44 ON 09 MAR 2009

L7 2 S L6

FILE 'REGISTRY' ENTERED AT 10:58:55 ON 09 MAR 2009

L8 STRUCTURE UPLOADED
L9 50 S L8
L10 11676 S L8 SSS FULL
L11 STRUCTURE UPLOADED
L12 26 S L11
L13 547 S L11 SSS FULL

FILE 'HCAPLUS' ENTERED AT 11:02:11 ON 09 MAR 2009

=> s l10

L14 8034 L10

=> s l13

L15 143 L13

```

=> s l14 and aryl magnesium halide
    237277 ARYL
    629 ARYLS
    237618 ARYL
        (ARYL OR ARYLS)
    550101 MAGNESIUM
    91 MAGNESIUMS
    550136 MAGNESIUM
        (MAGNESIUM OR MAGNESIUMS)
    162605 HALIDE
    134568 HALIDES
    233992 HALIDE
        (HALIDE OR HALIDES)
    38 ARYL MAGNESIUM HALIDE
        (ARYL(W)MAGNESIUM(W)HALIDE)
L16      0 L14 AND ARYL MAGNESIUM HALIDE

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=> s l15 and aryl magnesium halide
    237277 ARYL
    629 ARYLS
    237618 ARYL
        (ARYL OR ARYLS)
    550101 MAGNESIUM
    91 MAGNESIUMS
    550136 MAGNESIUM
        (MAGNESIUM OR MAGNESIUMS)
    162605 HALIDE
    134568 HALIDES
    233992 HALIDE
        (HALIDE OR HALIDES)
    38 ARYL MAGNESIUM HALIDE
        (ARYL(W)MAGNESIUM(W)HALIDE)
L17      0 L15 AND ARYL MAGNESIUM HALIDE

```

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=> s l14 and aryl magnesium
    237277 ARYL
    629 ARYLS
    237618 ARYL
        (ARYL OR ARYLS)
    550101 MAGNESIUM
    91 MAGNESIUMS
    550136 MAGNESIUM
        (MAGNESIUM OR MAGNESIUMS)
    96 ARYL MAGNESIUM
        (ARYL(W)MAGNESIUM)
L18      0 L14 AND ARYL MAGNESIUM

```

```

=> s l15 and aryl magnesium
    237277 ARYL
    629 ARYLS
    237618 ARYL
        (ARYL OR ARYLS)
    550101 MAGNESIUM
    91 MAGNESIUMS
    550136 MAGNESIUM
        (MAGNESIUM OR MAGNESIUMS)
    96 ARYL MAGNESIUM

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                (ARYL(W)MAGNESIUM)
L19             0 L15 AND ARYL MAGNESIUM

=> s l14 and transition metal catalyst
1083529 TRANSITION
280531 TRANSITIONS
1203452 TRANSITION
        (TRANSITION OR TRANSITIONS)
1907898 METAL
951296 METALS
2311183 METAL
        (METAL OR METALS)
833374 CATALYST
829879 CATALYSTS
1068162 CATALYST
        (CATALYST OR CATALYSTS)
5907 TRANSITION METAL CATALYST
        (TRANSITION(W)METAL(W)CATALYST)
L20             0 L14 AND TRANSITION METAL CATALYST

=> s l15 and transition metal catalyst
1083529 TRANSITION
280531 TRANSITIONS
1203452 TRANSITION
        (TRANSITION OR TRANSITIONS)
1907898 METAL
951296 METALS
2311183 METAL
        (METAL OR METALS)
833374 CATALYST
829879 CATALYSTS
1068162 CATALYST
        (CATALYST OR CATALYSTS)
5907 TRANSITION METAL CATALYST
        (TRANSITION(W)METAL(W)CATALYST)
L21             0 L15 AND TRANSITION METAL CATALYST

=> s l14 and metal catalyst
1907898 METAL
951296 METALS
2311183 METAL
        (METAL OR METALS)
833374 CATALYST
829879 CATALYSTS
1068162 CATALYST
        (CATALYST OR CATALYSTS)
26574 METAL CATALYST
        (METAL(W)CATALYST)
L22             1 L14 AND METAL CATALYST

=> s l15 and metal catalyst
1907898 METAL
951296 METALS
2311183 METAL
        (METAL OR METALS)
833374 CATALYST
829879 CATALYSTS

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1068162 CATALYST
      (CATALYST OR CATALYSTS)
26574 METAL CATALYST
      (METAL(W)CATALYST)
L23      0 L15 AND METAL CATALYST

=> s lh-tetrazol-5-yl biphenyl derivatives
265667 1H
4026 TETRAZOL
5 TETRAZOLS
4029 TETRAZOL
      (TETRAZOL OR TETRAZOLS)
6945620 5
149234 YL
72 YLS
149286 YL
      (YL OR YLS)
79155 BIPHENYL
19368 BIPHENYLS
83122 BIPHENYL
      (BIPHENYL OR BIPHENYLS)
369607 DERIVATIVES
1208132 DERIVS
1324502 DERIVATIVES
      (DERIVATIVES OR DERIVS)
L24      1 1H-TETRAZOL-5-YL BIPHENYL DERIVATIVES
      (1H(W)TETRAZOL(W)5(W)YL(W)BIPHENYL(W)DERIVATIVES)

=> s lh-tetrazol-5-yl biphenyl
265667 1H
4026 TETRAZOL
5 TETRAZOLS
4029 TETRAZOL
      (TETRAZOL OR TETRAZOLS)
6945620 5
149234 YL
72 YLS
149286 YL
      (YL OR YLS)
79155 BIPHENYL
19368 BIPHENYLS
83122 BIPHENYL
      (BIPHENYL OR BIPHENYLS)
L25      230 1H-TETRAZOL-5-YL BIPHENYL
      (1H(W)TETRAZOL(W)5(W)YL(W)BIPHENYL)

=> s l25 and process
2766153 PROCESS
1902364 PROCESSES
4131723 PROCESS
      (PROCESS OR PROCESSES)
L26      34 L25 AND PROCESS

=> s l26 and aryl magnesium halide
237277 ARYL
629 ARYLS
237618 ARYL

```

```

                (ARYL OR ARYLS)
550101 MAGNESIUM
    91 MAGNESIUMS
550136 MAGNESIUM
                (MAGNESIUM OR MAGNESIUMS)
162605 HALIDE
134568 HALIDES
233992 HALIDE
                (HALIDE OR HALIDES)
    38 ARYL MAGNESIUM HALIDE
                (ARYL(W)MAGNESIUM(W)HALIDE)
L27          0 L26 AND ARYL MAGNESIUM HALIDE

=> s l26 and aryl magnesium
    237277 ARYL
    629 ARYLS
    237618 ARYL
                (ARYL OR ARYLS)
550101 MAGNESIUM
    91 MAGNESIUMS
550136 MAGNESIUM
                (MAGNESIUM OR MAGNESIUMS)
    96 ARYL MAGNESIUM
                (ARYL(W)MAGNESIUM)
L28          0 L26 AND ARYL MAGNESIUM

=> s l26 and transition metal catalyst
    1083529 TRANSITION
    280531 TRANSITIONS
    1203452 TRANSITION
                (TRANSITION OR TRANSITIONS)
    1907898 METAL
    951296 METALS
    2311183 METAL
                (METAL OR METALS)
    833374 CATALYST
    829879 CATALYSTS
    1068162 CATALYST
                (CATALYST OR CATALYSTS)
    5907 TRANSITION METAL CATALYST
                (TRANSITION(W)METAL(W)CATALYST)
L29          0 L26 AND TRANSITION METAL CATALYST

=> s l26 and metal catalyst
    1907898 METAL
    951296 METALS
    2311183 METAL
                (METAL OR METALS)
    833374 CATALYST
    829879 CATALYSTS
    1068162 CATALYST
                (CATALYST OR CATALYSTS)
    26574 METAL CATALYST
                (METAL(W)CATALYST)
L30          0 L26 AND METAL CATALYST

=> s l26 and catalyst

```

833374 CATALYST
 829879 CATALYSTS
 1068162 CATALYST
 (CATALYST OR CATALYSTS)

L31 2 L26 AND CATALYST

=> d his

(FILE 'HOME' ENTERED AT 10:53:04 ON 09 MAR 2009)

FILE 'REGISTRY' ENTERED AT 10:53:17 ON 09 MAR 2009

L1 STRUCTURE UPLOADED
 L2 0 S L1
 L3 0 S L1 SSS FULL
 L4 STRUCTURE UPLOADED
 L5 1 S L4
 L6 2 S L4 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:55:44 ON 09 MAR 2009

L7 2 S L6

FILE 'REGISTRY' ENTERED AT 10:58:55 ON 09 MAR 2009

L8 STRUCTURE UPLOADED
 L9 50 S L8
 L10 11676 S L8 SSS FULL
 L11 STRUCTURE UPLOADED
 L12 26 S L11
 L13 547 S L11 SSS FULL

FILE 'HCAPLUS' ENTERED AT 11:02:11 ON 09 MAR 2009

L14 8034 S L10
 L15 143 S L13
 L16 0 S L14 AND ARYL MAGNESIUM HALIDE
 L17 0 S L15 AND ARYL MAGNESIUM HALIDE
 L18 0 S L14 AND ARYL MAGNESIUM
 L19 0 S L15 AND ARYL MAGNESIUM
 L20 0 S L14 AND TRANSITION METAL CATALYST
 L21 0 S L15 AND TRANSITION METAL CATALYST
 L22 1 S L14 AND METAL CATALYST
 L23 0 S L15 AND METAL CATALYST
 L24 1 S 1H-TETRAZOL-5-YL BIPHENYL DERIVATIVES
 L25 230 S 1H-TETRAZOL-5-YL BIPHENYL
 L26 34 S L25 AND PROCESS
 L27 0 S L26 AND ARYL MAGNESIUM HALIDE
 L28 0 S L26 AND ARYL MAGNESIUM
 L29 0 S L26 AND TRANSITION METAL CATALYST
 L30 0 S L26 AND METAL CATALYST
 L31 2 S L26 AND CATALYST

=> d l22 ibib abs hitstr tot

L22 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:671389 HCAPLUS

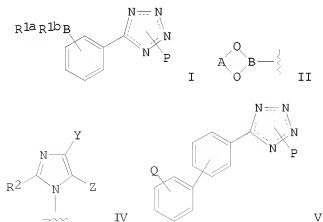
DOCUMENT NUMBER: 119:271389

ORIGINAL REFERENCE NO.: 119:48577a,48580a

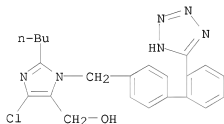
TITLE: Tetrazolylphenylboronic acid intermediates for the
 synthesis of angiotensin II receptor antagonists

INVENTOR(S): Lo, Young Sek; Rossano, Lucius Thomas; Larsen, Robert D.; King, Anthony O.
 PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA; Merck and Co., Inc.
 SOURCE: PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9310106	A1	19930527	WO 1992-US9979	19921118
W: AU, CA, CS, FI, JP, KR, NO, PL				
US 5130439	A	19920714	US 1991-793514	19911118
US 5206374	A	19930427	US 1992-911813	19920710
US 5310928	A	19940510	US 1992-911812	19920710
AU 9331792	A	19930615	AU 1993-31792	19921118
AU 665388	B2	19960104		
EP 643704	A1	19950322	EP 1993-900550	19921118
EP 643704	B1	20030917		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
JP 08500323	T	19960116	JP 1992-509518	19921118
PL 171453	B1	19970430	PL 1992-303787	19921118
PL 176124	B1	19990430	PL 1992-312131	19921118
SK 280887	B6	20000912	SK 1994-579	19921118
AT 250043	T	20031015	AT 1993-900550	19921118
FI 9402282	A	19940517	FI 1994-2282	19940517
FI 112945	B1	20040213		
NO 9401857	A	19940718	NO 1994-1857	19940518
NO 307932	B1	20000619		
PRIORITY APPLN. INFO.:			US 1991-793514	A 19911118
			US 1992-911812	A 19920710
			US 1992-911813	A 19920710
			WO 1992-US9979	A 19921118
OTHER SOURCE(S):		CASREACT 119:271389; MARPAT 119:271389		
GI				



- AB Title compds. I [P = Ph3C, Me3C, Cl-4-alkoxymethyl, MeSCH2, Ph-Cl-4-alkoxymethyl, p-MeOC6H4CH2, 2,4,6-trimethylbenzyl, 2-(trimethylsilyl)ethyl, tetrahydropyranyl, piperonyl, benzenesulfonyl; R1a, R1b = independently Cl, Br, Cl-4-alkoxy, OH; or R1aBR1b = II, A = Ph (sic) or (CH2)n, n = 2-4] were prepared as intermediates for the synthesis of angiotensin II receptor antagonists. Thus, reaction of B(OCHMe2)3 with the Li salt of 5-phenyl-2-trityltetrazole carbanion (generated from 5-phenyl-2-trityltetrazole and BuLi), followed by AcOH/H2O hydrolysis, afforded title compound I (P = 2'-Ph3C, R1a = R1b = OH) (III). More advanced intermediates that are precursors for angiotensin II receptor antagonists are prepared by cross-coupling of I with QC6H4X [X = Br, I, methanesulfonyloxy, toluenesulfonyloxy, fluorosulfonyloxy, trifluoromethanesulfonyloxy; Q = H, Me, Cl-4-alkyl, hydroxymethyl, triorganosiloxy, hydroxy-Cl-4-alkyl, formyl, Cl-4-acyl, Cl-4-alkoxycarbonyl, WL [L = single bond, (CH2)t, t = 1-4, (CH2)rO(CH2)r, (CH2)rSO(CH2)r, r = 0-2] and W = IV (R2 = Cl-4-alkyl, Y = e.g., Cl-4-alkyl, Z = e.g., hydroxymethyl)] in presence of metal catalyst, base, and coupling solvent to afford biphenyls V. Coupling of III with QC6H4X [X = 4-Br; Q = WL [L = CH2, W = IV (R2 = Bu, Y = Cl, Z = CH2OH)]] with catalyst formed from Pd chloride, Ph3P, and P(OCHMe2)3 afforded the corresponding V in 90% yield.
- IT 151012-29-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(formation and neutralization of, in preparation of angiotensin II receptor antagonist intermediates)
- RN 151012-29-2 HCAPLUS
- CN 1H-Imidazole-5-methanol, 2-butyl-4-chloro-1-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, potassium salt, hydrochloride (1:1:?) (CA INDEX NAME)



● x HCl

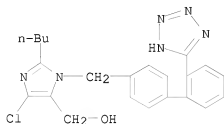
● K

- IT 114798-26-4P 124750-99-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as angiotensin II receptor antagonist intermediate)

10588169

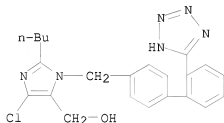
RN 114798-26-4 HCAPLUS

CN 1H-Imidazole-5-methanol, 2-butyl-4-chloro-1-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



RN 124750-99-8 HCAPLUS

CN 1H-Imidazole-5-methanol, 2-butyl-4-chloro-1-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, potassium salt (1:1) (CA INDEX NAME)



● K

=> d 124 ibib abs hitstr tot

L24 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:823694 HCAPLUS

DOCUMENT NUMBER: 143:229864

TITLE: A preparation of (1H-tetrazol-5-yl)-biphenyl

derivatives, useful as intermediates for the manufacture of angiotensin II receptor antagonists

INVENTOR(S): Krell, Christoph; Hirt, Hans

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

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WO 2005075462      A1      20050818      WO 2005-EP978      20050201
W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
    CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
    GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
    LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
    NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
    TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW,
RW:  BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
    AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
    EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
    RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
    MR, NE, SN, TD, TG
AU 2005211500      A1      20050818      AU 2005-211500      20050201
CA 2553246         A1      20050818      CA 2005-2553246      20050201
EP 1716140         A1      20061102      EP 2005-707117      20050201
R:   AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
    IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS
CN 1914197         A      20070214      CN 2005-80003794      20050201
BR 2005007352      A      20070703      BR 2005-7352         20050201
JP 2007519684      T      20070719      JP 2006-550140      20050201
MX 2006008678      A      20061009      MX 2006-8678        20060801
KR 2006128993      A      20061214      KR 2006-715580      20060801
IN 2006CN02815     A      20070608      IN 2006-CN2815      20060801
US 20070129413     A1      20070607      US 2006-588169      20060802
NO 2006003920      A      20061030      NO 2006-3920        20060901
PRIORITY APPLN. INFO.:
                                GB 2004-2262         A      20040202
                                WO 2005-EP978         W      20050201
OTHER SOURCE(S):      CASREACT 143:229864; MARPAT 143:229864
GI

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of (1H-tetrazol-5-yl)-biphenyl derivs. of formula I
[wherein: Y is a tetrazole protecting group; R1 and R2 are independently alkyl or combined together form alkylene], useful as intermediates for the manufacture of angiotensin II receptor antagonists (no data). For instance, (1H-tetrazol-5-yl)-biphenyl derivative II was prepared via NiCl₂(dppp)-catalyzed coupling of 4-([1,3]dioxan-2-yl)phenylmagnesium bromide with (chlorophenyl)tetrazole derivative III.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 131 ibib abs hitstr tot

L31 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:171944 HCAPLUS
DOCUMENT NUMBER: 146:229349
TITLE: Process for preparing irbesartan and related angiotensin II receptor antagonists
INVENTOR(S): Bessa Belmunt, Jordi

PATENT ASSIGNEE(S): Farmaprojects, S. A., Spain
 SOURCE: PCT Int. Appl., 31pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007017469	A2	20070215	WO 2006-EP65056	20060803
WO 2007017469	A3	20070802		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RM:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
EP 1749828	A1	20070207	EP 2005-381040	20050804
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
CA 2617289	A1	20070215	CA 2006-2617289	20060803
EP 1919469	A2	20080514	EP 2006-792689	20060803
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
IN 2008KN00447	A	20081017	IN 2008-KN447	20080131
US 20080281097	A1	20081113	US 2008-997715	20080201
CN 101268065	A	20080917	CN 2006-80034419	20080319
PRIORITY APPLN. INFO.:			EP 2005-381040	A 20050804
			US 2005-705827P	P 20050804
			WO 2006-EP65056	W 20060803
OTHER SOURCE(S):		CASREACT 146:229349; MARPAT 146:229349		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a process for preparing angiotensin II receptor antagonists, in particular irbesartan (I; R = H), and protected forms for the preparation thereof. The process renders irbesartan in one step from intermediates that are easy to obtain from com. products. The reaction is selective for the primary amine and presents no interaction with the NH of the tetrazole ring, which eliminates the need for a protecting group. By the process, irbesartan may be obtained without the need of handling explosive and highly toxic reagents, such as azide derivs. The process allows for the efficient and simple preparation of irbesartan and related angiotensin II receptor antagonists of formula I (R = H, tetrazolyl protecting group), as

illustrated by the following example. Suzuki coupling of 4-bromobenzylamine hydrochloride with 2-(1H-tetrazol-5-yl)phenylboronic acid (reference for preparation is given) gave tetrazolylbiphenyl II. Heterocyclization of valeroyl chloride with 1-aminocyclopentanecarboxylic acid gave oxazaspiro[3.5]nonenone III. Condensation of II with III in the presence of an acid catalyst, such as hydrochloric acid, in a polar aprotic solvent, such as Et acetate, resulted in the formation of irbesartan.

L31 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:371249 HCAPLUS
DOCUMENT NUMBER: 142:430273
TITLE: Preparation of candesartan cilexetil
INVENTOR(S): Etinger, Marina Yu; Fedotev, Boris
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.; Dolitzky, Ben-Zion
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037821	A2	20050428	WO 2004-US34540	20041018
WO 2005037821	A3	20050602		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
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OTHER SOURCE(S): CASREACT 142:430273

AB The invention encompasses processes for the synthesis of cilexetil trityl candesartan (I), namely 1-[[[(cyclohexyloxy)carbonyl]oxy]ethyl 2-ethoxy-1-[[2'-(1-trityl-1H-tetrazol-5-yl)-1,1'-biphenyl-4-yl]methyl]-1H-benzimidazole-7-carboxylate, from the reaction of trityl candesartan (II), namely 2-ethoxy-1-[[2'-(1-trityl-1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1H-benzimidazole-7-carboxylic acid, with cilexetil halide, i.e. 1-[[[(cyclohexyloxy)carbonyl]oxy]ethyl halide, in the presence of a base and a low boiling organic solvent. Optionally, the reaction may be conducted in the presence of a phase transfer catalyst. Thus, a suspension of II (2.0 g), cilexetil chloride (1.21 g), K₂CO₃ (0.81 g) and MeCN (19 g) was stirred at 40° for .apprx.8 h while monitoring the reaction by TLC. The acetonitrile was removed at 30-35° under reduced pressure (10 mbar) to give, after workup, crude I, as a semisolid of 94.38% pure by HPLC. A solution of I (350 g), toluene (1,050 mL), methanol (2,100 mL) and water (17.0 mL) was refluxed for about 2-4 h, and the solvents were evaporated at 40-50°/100 mbar to give a residue as a viscous oil. The residue was dissolved at 45-55° in a mixture of toluene/MeOH (1,041 g, 95:5, weight/weight) to give a clear solution which was cooled to -5 to 20° and kept at this temperature for about 8-12 h. The precipitated solids were filtered off, washed on the filter with cold toluene (350 mL) to give candesartan cilexetil as a wet solid (295.8 g, 83.0%). The wet solid (110 g) was dried at 50°/10 mbar for 2-6 h to give a wet white solid (94 g) which was dissolved in absolute ethanol (215-363 mL), filtered, and cooled at -15° to 5° for .apprx.2-24 h. The precipitated solids were filtered off, washed with cold absolute ethanol (23-35 mL),

and dried at 50°/10 mbar to give 21.5 g candesartan cilexetil.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
88.74	860.41

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-3.28	-4.92

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